WEST Search History

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DATE: Wednesday, July 18, 2007

Hide?	<u>Set</u> Name	Query	<u>Hit</u> Count
	DB=US	SPT; PLUR=YES; OP=ADJ	
	L2	L1 and (aryl adj5 sulfonamid\$5)	14
	L1	(514/317.ccls. or 514/319.ccls. or 546/205.ccls. or 546/216.ccls.) and sulfonamid\$5	227

END OF SEARCH HISTORY

L1 HAS NO ANSWERS

L1 STR

VPA 20-12/8/7/9/10 U NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE

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FULL SEARCH INITIATED 16:30:12 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 289303 TO ITERATE

100.0% PROCESSED 289303 ITERATIONS

SEARCH TIME: 00.00.04

L3 53 SEA SSS FUL L1

=> d scan

L3 53 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Acetic acid, [[[3-chloro-4-[[1-(phenylmethyl)-4-

piperidinyl]oxy]phenyl][(2E)-3-(3-cyanophenyl)-2-propenyl]amino]sulfonyl]-

53 ANSWERS

, ethyl ester (9CI)

MF C32 H34 C1 N3 O5 S

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> s 13

L4 13 L3

=> d bib abs hitstr 1-13

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:174303 CAPLUS

DN 146:251838

TI Preparation of therapeutic agents for diabetes

IN Abe, Hidenori; Wakabayashi, Takeshi; Rikimaru, Kentarou

PA Takeda Pharmaceutical Company Limited, Japan

SO PCT Int. Appl., 509pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PAN.	PATENT	NO	KIN	D DATE			APPL:	ICAT:	ION I	NO.		D	ATE	
						-								
ΡI	WO 2007	018314	A2	2007	0215	1	WO 2	006-	JP31	6068		20	00608	809
	W:	AE, AG, AL	, AM,	AT, AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN, CO, CR	, CU,	CZ, DE,	DK,	DM,	DΖ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE, GH, GM	, HN,	HR, HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	ΚP,
		KR, KZ, LA	, LC,	LK, LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,
		MW, MX, MZ	, NA,	NG, NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,	RU,
		SC, SD, SE	, SG,	SK, SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,
		US, UZ, VC	, VN,	ZA, ZM,	zw									
	RW:	AT, BE, BG	, CH,	CY, CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS, IT, LT	, LU,	LV, MC,	ΝL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF, CG, CI	, CM,	GA, GN,	GQ,	GW,	ML,	MR,	ΝĖ,	SN,	TD,	TG,	BW,	GH,
		GM, KE, LS	, MW,	MZ, NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG, KZ, MD	, RU,	TJ, TM										
ד ע ממ	TD 200E	-222646 .	7\	2005	0810									

PRAI JP 2005-232646 A 20050810

OS MARPAT 146:251838

GI

AB The invention provides an agent for the prophylaxis or treatment of diabetes, which is associated with fewer side effects such as body weight gain, adipocyte accumulation, cardiac hypertrophy and the like, and which

II

contains a compound I [A = (un) substituted aryl; Ar = (un) substituted monocyclyl; R1 = (un) substituted hydrocarbyl, heterocyclyl; R2 = H, (un) substituted hydrocarbyl, heterocyclyl; X = spacer having a main chain of 1-2 atoms; Y = a bond or a spacer having a main chain of 1-2 atoms; W = (un) substituted divalent hydrocarbon group; Z = CONHSO2 and derivs., SO2NHCO and derivs., OCONH and derivs., etc.], or a salt thereof or a prodrug thereof. Preparation of antidiabetic agents I is described. Thus, O-heteroarylation of Et 3-[2-hydroxy-4-(2-methoxyethoxy)phenyl]propanoate (preparation given) with 2,3-dichloro-5-(trifluoromethyl)pyridine, saponification and

reaction of the acid with pentane-1-sulfonamide gave N-sulfonyl amide II. Selected I displayed a hypoglycemic and hypolipidemic action. II exhibited PPAR γ -PPAR α heterodimer ligand activity.

IT 926300-56-3P, (2E)-3-[2-[(1-Benzoylpiperidin-4-yl)oxy]-4-(2methoxyethoxy)phenyl]-N-(pentylsulfonyl)-2-propenamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(drug candidate; preparation of therapeutic agents for diabetes)

RN 926300-56-3 CAPLUS

CN 2-Propenamide, 3-[2-[(1-benzoyl-4-piperidinyl)oxy]-4-(2-methoxyethoxy)phenyl]-N-(pentylsulfonyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

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L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
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AN 2007:11285 CAPLUS

DN 146:121845

TI Preparation of piperidinyl substituted isoquinoline derivatives as inhibitors of Rho-kinase

IN Plettenburg, Oliver; Hofmeister, Armin; Kadereit, Dieter; Peukert, Stefan;
Ruf, Sven; Ritter, Kurt; Loehn, Matthias; Ivashchenko, Yuri; Monecke,
Peter; Dreyer, Matthias; Kannt, Aimo

PA Sanofi-Aventis Deutschland G.m.b.H., Germany

SO PCT Int. Appl., 172pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PAT	CENT	NO.			KIN	D	DATE		;	APPL	ICAT:	ION I	NO.		D	ATE	
							_									-		
PΙ	WO	2007	0002	40		A1		2007	0104	1	WO 2	006-1	EP56	48		2	0060	613
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KΡ,	KR,
			KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,

MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI EP 2005-13868

A 20050628

OS MARPAT 146:121845

GI

The title compds. I [R1 = H, alkyl, NH(alkyl), N(alkyl)2, etc.; R2 = H, halo, alkyl; R3 = H, halo, alkyl, etc.; R4 = H, halo, OH, etc.; R5 = H, halo, CN, etc.; R6 = H, alkyl, alkylenealkoxy, etc.; R7 = H, halo, CN, etc.; R8 = H, halo, alkyl; n = 1-4; L = O, O-alkylene], useful for the treatment and/or prevention of diseases associated with Rho-kinase and/or Rho-kinase mediated phosphorylation of myosin light chain phosphatase, were prepared E.g., a multi-step synthesis of II.TFA, starting from 6-hydroxyisoquinoline, was given. Compds. I were tested for Rho-kinase inhibition (data were given for representative compds. I).

Ι

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidinyl-substituted isoquinoline derivs. as RHO-kinase inhibitors useful in treatment and prevention of diseases)

RN 918490-71-8 CAPLUS
CN Benzenesulfonamide, 2-chloro-5-[[4-(6-isoquinolinyloxy)-1piperidinyl]methyl] - (CA INDEX NAME)

IT 918490-70-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of piperidinyl-substituted isoquinoline derivs. as RHO-kinase inhibitors useful in treatment and prevention of diseases)

RN 918490-70-7 CAPLUS

CN Benzenesulfonamide, 2-chloro-N-[(dimethylamino)methylene]-5-[[4-(6-isoquinolinyloxy)-1-piperidinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 918490-69-4

CMF C24 H27 Cl N4 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:365367 CAPLUS

DN 144:404358

TI Mutgenesis of HERG ion channel to determine its specific interactions with drugs to design safer and more selective therapeutics

IN Dougherty, Dennis A.; Lester, Henry A.; Nowak, Mark W.

PA Neurion Pharmaceuticals, Inc., USA

SO U.S. Pat. Appl. Publ., 36 pp., Cont.-in-part of U.S. Ser. No. 957,116. CODEN: USXXCO

DT Patent

LA English

FAN.	CNT	4						
	PAT	TENT NO.	KIND	DATE	AP:	PLICATION NO.		DATE
							-	
PΙ	US	2006084102	A 1	20060420	US	2005-242822		20051003
-	US	2004180401	A1	20040916	US	2003-444058		20030523
	US	2006014159	A1	20060119	US	2004-957116		20041001
PRAI	US	2002-382571P	P	20020524				
	US	2003-454338P	P	20030314				•
	US	2003-444058	A2	20030523	•			
	US	2004-615173P	P	20041001				
	US	2004-957116	A2	20041001				

The present invention provides a method of obtaining highly precise AB binding and interaction information of ligands or drugs with the HERG ion channel by mutagenesis of critical sites within the transmembrane domains of the ion channel. The information elucidated from these novel expts. allow predictive identification of binding mols. or drugs that contribute to or cause undesirable HERG activity as well as ones that alleviate such activity. Unexpected HERG activity, i.e. nonspecific modulatory effects, limits the efficacy of many drugs, and can even cause dangerous side effects. The present invention also relates to methods for the discovery and design of safer and more selective compds. without unexpected HERG activity. Another aspect of the invention is to provide a HERG screening assay system comprising a HERG channel which has been modified to replace native amino acids, wherein the channel is expressed in vivo in Xenopus oocytes or mammalian cells. The present invention will not only provide information on whether a compound binds to HERG, but also details both the method and specific location of binding. Through high-precision compound modifications, the present invention will enable the identification and continued development of drug classes that would otherwise be dropped because of HERG activity, or make compds. to block and reduce the HERG activity of other compds. as adjuvants. Unwanted drug side effects may include cardiac arrhythmia, ventricular fibrillation, QT interval prolongation and sudden death.

IT 873429-73-3

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(binding with the HERG channel; mutagenesis of HERG ion channel to determine
its specific interactions with drugs to design safer and more selective
therapeutics)

RN 873429-73-3 CAPLUS

CN Methanesulfonamide, N-[4-[[1-[2-[4-[(methylsulfonyl)methyl]phenyl]ethyl]-3-piperidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:342829 CAPLUS

DN 144:390559

TI Preparation of benzenesulfonamide compounds as N-type calcium channel inhibitors

IN Ohtani, Tazumi; Kambe, Tohru; Kobayashi, Kaoru; Takimizu, Hideyuki; Ito, Yoko

PA Ono Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 196 pp. CODEN: PIXXD2

DT Patent LA Japanese FAN.CNT 1

rau.	DATENT '	NO.		KINI	,	ጋልጥ፫			APPT.	тсат	TON 1	VV		D	ATE	
	INIUNI .			1/7.1/1	•			-	**							
					-											
ΡI	WO 2006	038594		A 1	:	2006	0413	I	WO 2	005-	JP18:	306		20	0051	003
	W:	AE, AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN, CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE, GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KM,	KΡ,	KR,	KZ,
		LC, LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ;
		NA, NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,
		SK, SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	ΰĠ,	US,	UΖ,	VC,	VN,
		YU, ZA,	ZM,	zw												
	RW:	AT, BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	ΙE,
		IS, IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF, CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,
		GM, KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG, KZ,	MD,	RU,	TJ,	TM										
PRAI	JP 2004	-290916		A		2004	1004									
OS GI	MARPAT	144:3905	59													

I

$$A-B-N-D \xrightarrow{Y} W-X-Z$$

AB Title compds. I [ring Y = (un)substituted cycle; A, R = H, (un)substituted chain hydrocarbon group, (un)substituted cycle; B, D = bond, spacer; W = O, (un)substituted N, (un)substituted -CH2-, etc.; X = bond, spacer, (un)substituted cycle; Z = (un)protected hydroxy, (un)protected amino, (un)substituted cycle; further details on A, R, W, X, and Z are given.] were prepared For example, reaction of compound II [R1 = Br], e.g., prepared from p-methoxybenzenesulfonyl chloride in 4 steps, with diethylamine afforded compound II [R1 = diethylamino]. In N-type calcium channel inhibition assays, compound III·2HCl inhibited N-type calcium channel current by 82% at 1 μM. Compound I are claimed useful for the treatment

III

of pain, asthma, etc.

IT 882851-43-6P 882851-58-3P 882851-59-4P

882851-63-0P 882851-64-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzenesulfonamide compds. as N-type calcium channel inhibitors for treatment of pain, asthma, etc.)

RN 882851-43-6 CAPLUS

CN Benzenesulfonamide, N-cyclohexyl-N-ethyl-4-[[1-(phenylmethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

RN 882851-58-3 CAPLUS

CN Benzenesulfonamide, N-cyclohexyl-N-ethyl-4-[[1-(2-phenylethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

RN 882851-59-4 CAPLUS

CN Benzenesulfonamide, N-cyclohexyl-N-ethyl-4-[[1-(3-phenylpropyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

RN 882851-63-0 CAPLUS

CN Benzenesulfonamide, N-cyclohexyl-N-ethyl-4-[[1-(1-naphthalenylmethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 882851-64-1 CAPLUS

CN Benzenesulfonamide, N-cyclohexyl-N-ethyl-4-[[1-(2-naphthalenylmethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2006:50969 CAPLUS
- DN 144:124554
- TI Methods of determining precise HERG ion channel interactions based on incorporation of unnatural amino acids
- IN Dougherty, Dennis A.; Lester, Henry A.; Lasch, Jonathan G.; Nowak, Mark W.
- PA Neurion Pharmaceuticals, Inc., USA
- SO U.S. Pat. Appl. Publ., 28 pp., Cont.-in-part of U.S. Ser. No. 444,058.

CODEN: USXXCO

DT Patent LA English FAN.CNT 4

	PAT	CENT 1	NO.			KIN	D	DATE		i	APPL	ICAT:	ION I	NO.		D	ATE	
				 .			-									-		
ΡI	US	2006	0141	59		A1		2006	0119	1	JS 2	004-	9571	16		20	0041	001
	US	2004	1804	01		A1		2004	0916	1	JS 2	003-	4440	58		2	0030	523
	WO	2006	0397	17		A2		2006	0413	1	WO 2	005-1	US35	871		20	0051	003
	WO	2006	0397	17		A3		2006	0713									
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KΡ,	KR,	KZ,
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,
			NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,
								TM,										
				ZA,			•	•	•	•								
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
								MC,										
								GN,										
								NA,										
			•	KZ,		•		•	•	•	•	•	•	•	•	•		•
	US	2006	•					2006	0420	1	US 2	005-	2428	22		2	0051	003
PRAI		2002						2002	0524		_							
		2003						2003										
		2003						2003										
		2004						2004										
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The present invention provides a method of obtaining highly precise AB binding and interaction information of ligands or drugs with the HERG ion channel by utilizing incorporation of unnatural amino acids at critical sites within the transmembrane domains of the ion channel. The information elucidated from these novel expts. allow predictive identification of binding mols. or drugs that contribute to or cause undesirable HERG activity as well as ones that alleviate such activity. Unexpected HERG activity, i.e. nonspecific modulatory effects, limits the efficacy of many drugs, and can even cause dangerous side effects. The present invention also relates to methods for the discovery and design of safer and more selective compds. without unexpected HERG activity. Another aspect of the invention is to provide a HERG screening assay system comprising a HERG channel which has been modified to replace native amino acids with unnatural amino acids, wherein the channel is expressed in vivo in Xenopus oocytes. The present invention will not only provide information on whether a compound binds to HERG, but also details both the method and specific location of binding. Through high-precision compound modifications, the present invention will enable the identification and continued development of drug classes that would otherwise be dropped because of HERG activity, or make compds. to block and reduce the HERG activity of other compds. as adjuvants.

IT 873429-73-3

RN

RL: BSU (Biological study, unclassified); BIOL (Biological study) (binding with the HERG channel; methods of determining precise HERG ion channel interactions based on incorporation of unnatural amino acids) 873429-73-3 CAPLUS

CN Methanesulfonamide, N-[4-[[1-[2-[4-[(methylsulfonyl)methyl]phenyl]ethyl]-3-piperidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ O \\ O \\ \end{array}$$

$$\begin{array}{c} O \\ \parallel \\ O \\ \end{array}$$

$$\begin{array}{c} O \\ \parallel \\ O \\ \end{array}$$

$$\begin{array}{c} CH_2 - CH_2 \\ \parallel \\ O \\ \end{array}$$

$$\begin{array}{c} CH_2 - CH_2 \\ \parallel \\ O \\ \end{array}$$

L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:411619 CAPLUS

DN 140:400071

TI Blood-coagulation factor Xa inhibitors for prophylactic or therapeutic treatment of cerebral or myocardial infarction and peripheral circulation disorder

IN Fujimoto, Koichi; Tanaka, Naoki; Shimada, Ikuko; Asai, Fumitoshi

PA Sankyo Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 189 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	O111 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 2004143164	A	20040520	JP 2003-345161	20031003
PRAI	JP 2002-290838	A	20021003		
OS	MARPAT 140:400071				
GI					

Title inhibitors contain benzamidines I [R1 = H, halo, C1-6 alkyl, OH; R2 = H, halo; R3 = H, C1-6 alkyl, C2-7 carboxyalkyl, C1-6 alkylsulfonyl, etc.; R4, R5 = H, halo, C1-6 (halo)alkyl, C1-6 alkoxy, CO2H, alkylcarbamoyl, etc.; R6 = H, C1-6 alkyl, C3-8 cycloalkyl, c7-16 aralkyl, C6-10 aryl, heterocyclyl, etc.; R7, R8 = H, C1-6 alkyl; R6R7 or R7R8 may be bonded to form C2-5 alkylene; n = 0-2], their pharmacol. acceptable salts, or their prodrugs. Thus, N-[3-(3-amidinophenyl)-2(E)-propenyl]-N-[3-chloro-4-(1-ethylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid 2HCl salt inhibited factor Xa with IC50 value of 10 nM.

IT 470475-87-7P 470475-88-8P 470475-89-9P
470475-90-2P 470476-29-0P 470476-30-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of benzamidines as blood-coagulation factor Xa inhibitors for treatment of cardiovascular diseases)

RN 470475-87-7 CAPLUS

CN Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME) Double bond geometry as shown.

●2 HCl

RN 470475-88-8 CAPLUS

CN Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

●2 HCl

RN 470475-89-9 CAPLUS

CN Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(2-phenylethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 470475-90-2 CAPLUS

CN Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(2-phenylethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & & & & \\ & & & \\ \hline \\ \text{Ph} & & & \\ \hline \\ \text{N} & & \\ \\ \text{N} & & \\ \hline \\ \text{N}$$

●2 HCl

RN 470476-29-0 CAPLUS

CN Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(iminophenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

●2 HCl

RN 470476-30-3 CAPLUS

CN Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(iminophenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

●2 HCl

IT 470477-10-2P 470477-11-3P 470477-14-6P

470477-15-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzamidines as blood-coagulation factor Xa inhibitors for treatment of cardiovascular diseases)

RN 470477-10-2 CAPLUS

CN Acetic acid, [[[3-chloro-4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 470477-11-3 CAPLUS

CN Acetic acid, [[[3-chloro-4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl][(2E)-3-(3-cyanophenyl)-2-propenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 470477-14-6 CAPLUS

RN 470477-15-7 CAPLUS CN Acetic acid, [[[3-chloro-4-[[1-(2-phenylethyl)-4piperidinyl]oxy]phenyl][(2E)-3-(3-cyanophenyl)-2-propenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 7 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN L4

AN 2003:951210 CAPLUS

DN 140:13006

Methods of determining precise HERG potassium channel interactions and TI altering compounds based on the interactions

IN Dougherty, Dennis A.; Lester, Henry A.; Lasch, Jonathon G.

Neurion Pharmaceuticals, Inc., USA PA

PCT Int. Appl., 32 pp. SO

CODEN: PIXXD2

DTPatent

LA English

	CNT 4			
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
ΡI	WO 2003100082	A2 20031204	WO 2003-US16426	20030523
	WO 2003100082	A8 20040401		
	WO 2003100082	A3 20060518	•	
	W: AE, AG, AL	, AM, AT, AU, AZ,	BA, BB, BG, BR, BY, BZ,	CA, CH, CN,
	CO, CR, CU	, CZ, DE, DK, DM,	DZ, EC, EE, ES, FI, GB,	GD, GE, GH,
	GM, HR, HU	, ID, IL, IN, IS,	JP, KE, KG, KP, KR, KZ,	LC, LK, LR,
	LS, LT, LU	, LV, MA, MD, MG,	MK, MN, MW, MX, MZ, NO,	NZ, OM, PH,
	PL, PT, RC	, RU, SC, SD, SE,	SG, SK, SL, TJ, TM, TN,	TR, TT, TZ,
	UA, UG, UZ	, VC, VN, YU, ZA,	ZM, ZW	
	RW: GH, GM, KE	, LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZM, ZW,	AM, AZ, BY,
	KG, KZ, MD	, RU, TJ, TM, AT,	BE, BG, CH, CY, CZ, DE,	DK, EE, ES,
	FI, FR, GE	, GR, HU, IE, IT,	LU, MC, NL, PT, RO, SE,	SI, SK, TR,
	BF, BJ, CF	, CG, CI, CM, GA,	GN, GQ, GW, ML, MR, NE,	SN, TD, TG
	CA 2486193	A1 20031204	CA 2003-2486193	20030523
	AU 2003248571	A1 20031212	AU 2003-248571	20030523
	EP 1578992	A2 20050928	EP 2003-755475	20030523
	R: AT, BE, CH	, DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,
	IE, SI, LT	LV, FI, RO, MK,	CY, AL, TR, BG, CZ, EE,	HU, SK
	JP 2006509495		JP 2004-507522	
			IN 2004-KN1488	20041006

PRAI US 2002-382571P P 20020524 US 2003-454338P P 20030314 WO 2003-US16426 W 20030523

OS MARPAT 140:13006

The invention discloses methods of determining highly precise interactions between the HERG (human ether-a-go-go-related gene) potassium channel and various compds. The methods of the invention use nonsense codon suppression methods combined with heterologous in vivo expression in Xenopus oocytes. Unexpected HERG activity, i.e. non-specific modulatory effects, limits the efficacy of many drugs, and can even cause dangerous side effects. The invention also relates to methods for the discovery and design of safer and more selective compds. without unexpected HERG activity.

IT 167859-05-4

RL: BSU (Biological study, unclassified); BIOL (Biological study) (HERG potassium channel interaction determination and altering compds.

based on

interaction)

RN 167859-05-4 CAPLUS

CN Methanesulfonamide, N-[4-[2-[3-[4-[(methylsulfonyl)amino]phenoxy]-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O & O \\ \hline Me-S-NH & O & NH-S-Me \\ \hline O & N-CH_2-CH_2 & O & O \\ \hline \end{array}$$

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:570958 CAPLUS

DN 139:133471

TI Preparation of N-[(piperidinyloxy)phenyl]sulfonamides as nicotinic and muscarinic acetylcholine effectors

IN Hoelzemann, Guenter; Pruecher, Helmut; Schiemann, Kai; Leibrock, Joachim; Greiner, Hartmut; Burger, Christa; Von Melchner, Laurie

PA Merck Patent G.m.b.H., Germany

SO PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

T. LTIA .	CIVI	-																
	PAT	CENT 1	NO			KIN	D	DATE			APPL	ICAT:	ION I	NO.		D	ATE	
							_	- 						-		-		
ΡI	WO	2003	0598	82		A1		2003	0724	1	WO 2	002-1	EP14:	389		2	0021	217
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
	GM, HR, H LS, LT, L		HU,	ID,	IL,	IN,	IS,	JΡ,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,		
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	UΑ,
			ŪĠ,	US,	UΖ,	VN,	YU,	ZA,	ZM,	ZW								
		RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
			KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG;	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
			FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	SI,	SK,	TR,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG		
	DE	1020	1550			A1		2003	0731	:	DE 2	002-	1020	1550		2	0020	117
	CA	2473	409			A1		2003	0724	+	CA 2	002-	2473	409		2	0021	217
	AU 2002358735				A1		2003	0730		AU 2	002-	3587	35		2	0021	217	
	EP	1465	868			Δ1		2004	1013		EP 2	002-	7930	45		21	0021	217

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK 20050511 CN 2002-827254 20021217 CN 1615297 Α JP 2005514457 Т 20050519 JP 2003-559986 20021217 US 2003-501763 US 2005131021 A1 20050616 20021217 HU 200500497 A2 20050829 HU 2005-497 20021217 ZA 2004006504 Α 20050915 ZA 2004-6504 20040816 PRAI DE 2002-10201550 Α 20020117 W WO 2002-EP14389 20021217 OS MARPAT 139:133471 GI

$$R^{1}$$
 $R^{5}SO_{2}N$
 $N-(CH_{2})_{n}$
 R^{2}
 R^{3}

Title compds. [I; R1 = H, (fluorinated) (S-, O-interrupted) alkyl, AB alkenyl; R2-R4 = H, (fluorinated) (S-, O-interrupted) alkyl, alkenyl, OH, OMe, OCF3, halo, cyano, CO2R1, CONR1, NO2; R5 = (fluorinated) (S-, O-interrupted) alkyl, alkenyl, (substituted) Ph, naphthyl, biphenyl, arylalkyl; n = 0-10], were prepd as nicotinic and muscarinic acetylcholine effectors (no data). Thus, 4-(1-benzylpiperidin-4-yloxy)phenylamine (preparation given) and phenylmethylsulfonyl chloride in DMF were treated with Et3N followed by stirring for 14 h at room temperature to give N-[4-(1-benzylpiperidin-4-yloxy)phenyl]phenylmethanesulfonamide. compds. are suitable for the prophylaxis or treatment of schizophrenia, depression, panic attacks, dementia, Alzheimer's disease, Lewy body dementia, neurodegenerative diseases, Parkinson's disease, Huntington's chorea, Tourette's syndrome, learning limitations and memory loss, senile amnesia, for relieving withdrawal symptoms in nicotine dependency, or for the prophylaxis or treatment of cerebral apoplexy or cerebral damage caused by toxic compds.

IT 565418-43-1P 565418-44-2P, N-[4-(1-Benzylpiperidin-4-yloxy)phenyl]benzenesulfonamide 565418-45-3P 565418-46-4P 565418-47-5P 565418-48-6P 565418-49-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-[(piperidinyloxy)phenyl] sulfonamides as nicotinic and muscarinic acetylcholine effectors)

RN 565418-43-1 CAPLUS

CN Benzenemethanesulfonamide, N-[4-[[1-(phenylmethyl)-4piperidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

RN 565418-44-2 CAPLUS

RN 565418-45-3 CAPLUS

CN Benzenemethanesulfonamide, 2-nitro-N-[4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 565418-46-4 CAPLUS

CN 2-Propanesulfonamide, N-[4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl](9CI) (CA INDEX NAME)

RN 565418-47-5 CAPLUS

CN 1-Butanesulfonamide, N-[4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]-(9CI) (CA INDEX NAME)

RN 565418-48-6 CAPLUS

CN 1-Propanesulfonamide, N-[4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl](9CI) (CA INDEX NAME)

RN 565418-49-7 CAPLUS

CN Ethanesulfonamide, 2,2,2-trifluoro-N-[4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:868737 CAPLUS

DN 137:369982

TI Preparation of 2-[3-[4-(4-piperidinyloxy)anilino]-1-propenyl]benzamidine derivatives and composition containing them for iontophoresis

IN Fujimoto, Koichi; Tanaka, Naoki; Shimada, Ikuko; Asai, Fumitoshi; Inoue, Kazuhiro; Okada, Junichi

PA Sankyo Company, Limited, Japan

SO PCT Int. Appl., 400 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

FAN.	PATENT	NO.		KIND	DATE	2	i	APPL	ICAT:	ION I	NO.		Di	ATE	
PI	WO 2002	089803	-	A1	2002	1114	1	WO 2	002-	JP44:	22		2	0020	507
	W:	AE, AG	AL,	AM,	AT, AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO, CR	CU,	CZ,	DE, DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM, HR	HU,	ID,	IL, IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
		LS, LT	LU,	LV,	MA, MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	ΝZ,	OM,	PH,
		PL, PT	RO,	RU,	SD, SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	ΤZ,
		UA, UG	US,	UΖ,	VN, YU,	ZA,	ZM,	ZW							
	RW:	GH, GM	KE,	LS,	MW, MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	ΑT,	BE,	CH,
		CY, DE	DK,	ES,	FI, FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,
		BF, BJ	CF,	CG,	CI, CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG
	AU 2002	253683		A1	2002	1118		AU 2	002-	2536	83		20	0020	507
	JP 2003	040773		A	2003	0213		JP 2	002-3	1310	52		20	0020	507
PRAI	JP 2001	136159		Α	2001	.0507									
	WO 2002	-JP4422		W	2002	0507									
OS GI	MARPAT	137:3699	982												

$$R^{1}$$
 R^{2}
 R^{3}
 R^{4}
 R^{6}
 R^{7}
 R^{8}
 R^{1}
 R^{2}
 R^{3}
 R^{4}
 R^{6}
 R^{7}
 R^{8}
 R^{8}

An iontophoresis composition for blood clotting factor X inhibitors which AB contains either a benzamidine derivative having the general formula (I) [wherein R1 = H, halo, alkyl, HO; R2 = H, halo, C1-6 alkyl; R3 = H, C1-6 alkyl, C1-6 hydroxyalkyl, C2-7 carboxyalkyl, C3-13 alkoxycarbonylalkyl, C7-16 aralkyl, C2-7 aliphatic acyl, C2-7 hydroxy-aliphatic acyl, C1-6 alkylsulfonyl, C3-13 alkoxycarbonylalkylsulfonyl, C2-7 carboxyalkylsulfonyl, C3-8 carboxyalkylcarbonyl; R4, R5 = H, halo, C1-6 alkyl, C1-6 haloalkyl, C1-6 alkoxy, CO2H, C2-7 alkoxycarbonyl, CONH2, C2-7 monoalkyl or C3-13 dialkylcarbamoyl; R6 = H, C1-6 alkyl, C3-8 cycloalkyl, C7-16 aralkyl, heterocyclyl-C1-6 alkyl, C2-7 carboxyalkyl, C3-13 alkoxycarbonylalkyl, C2-7 aliphatic acyl, C7-11 aromatic acyl, CONH2, C1-6 alkylsulfonyl, C6-10 aryl, heterocyclyl, formimidoyl, C2-7 1-iminoalkyl, C2-7 N-alkylformimidoyl, C7-11 iminoarylmethyl; R7, R8 = H, C1-6 alkyl; or R6 and R7 or R7 and R8 together represent C2-5 alkylene; n = 0, 1, 2 or a pharmacol. acceptable salt of the derivative is disclosed. The compds. I are readily absorbed through skin and useful as remedies or preventives for thrombus or embolus by iontophoresis. Thus, 0.39 g Et acetimidate hydrochloride and 0.87 mL Et3N were added to a solution of [N-[(E)-3-(3-amidinopheny1)-2-methyl-2-propeny1]-N-[3-carbamoyl-4-methyl-2-propeny1](piperidin-4-yloxy)phenyl]sulfamoyl]acetic acid Et ester in 20 mL ethanol and stirred at room temperature for 6 h to give 75% [N-[4-((1acetimidoylpiperidin-4-yl)oxy)-3-carbamoyl-N-[(E)-3-(3-amidinophenyl)-2methyl-2-propenyl]phenyl]sulfamoyl]acetic acid Et ester dihydrochloride which (0.64 g) was dissolved in 20 mL 3 N aqueous HCl and heated at 80° for 2 h to give [N-[4-((1-acetimidoylpiperidin-4-yl)oxy)-3carbamoylphenyl]-N-[(E)-3-(3-amidinophenyl)-2-methyl-2propenyl]sulfamoyl]acetic acid dihydrochloride (II). II in vitro exhibited an iontophoresis skin permeability (flux) of $90\pm7~\mu g/h/cm2$ using a hairless mice skin at skin current of 100 $\mu A/cm2$. The 15 compds. I exhibited higher skin permeability compared to two reference compds. 470475-87-7P 470475-89-9P 470476-29-0P ITRL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of [[(piperidinyloxy)anilino]propenyl]benzamidine derivs. as blood clotting factor X inhibitors for treatment of thrombus and embolus by iontophoresis)

Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-

[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester,

Double bond geometry as shown.

CAPLUS

dihydrochloride (9CI) (CA INDEX NAME)

470475-87-7

RN

CN

●2 HCl

RN 470475-89-9 CAPLUS

CN Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(2-phenylethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

•2 HCl

RN 470476-29-0 CAPLUS

CN Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(iminophenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 470475-88-8P 470475-90-2P 470476-30-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of [[(piperidinyloxy)anilino]propenyl]benzamidine derivs. as blood clotting factor X inhibitors for treatment of thrombus and embolus by iontophoresis)

RN 470475-88-8 CAPLUS

CN Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

●2 HCl

RN 470475-90-2 CAPLUS

CN Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(2-phenylethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

●2 HCl

RN 470476-30-3 CAPLUS

CN Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(iminophenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

●2 HCl

470477-10-2P, [N-[4-(1-Benzylpiperidin-4-yloxy)-3-IT chlorophenyl]sulfamoyl]acetic acid ethyl ester 470477-11-3P 470477-14-6P, [N-[3-Chloro-4-(1-phenethylpiperidin-4yloxy)phenyl]sulfamoyl]acetic acid ethyl ester 470477-15-7P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of [[(piperidinyloxy)anilino]propenyl]benzamidine derivs. as blood clotting factor X inhibitors for treatment of thrombus and embolus by iontophoresis) RN470477-10-2 CAPLUS Acetic acid, [[[3-chloro-4-[[1-(phenylmethyl)-4-CN piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 470477-14-6 CAPLUS
CN Acetic acid, [[[3-chloro-4-[[1-(2-phenylethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 470477-15-7 CAPLUS

CN Acetic acid, [[[3-chloro-4-[[1-(2-phenylethyl)-4 piperidinyl]oxy]phenyl][(2E)-3-(3-cyanophenyl)-2-propenyl]amino]sulfonyl] , ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:793603 CAPLUS

DN 137:310926

 ${\tt TI}$ Preparation of benzamidine derivatives as inhibitors of activated blood coagulation factor ${\tt X}$

IN Fujimoto, Koichi; Tanaka, Naoki; Shimada, Ikuko; Asai, Fumitoshi

PA Sankyo Company, Limited, Japan

SO PCT Int. Appl., 314 pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT		KINI)	DATE		i	APPL:	ICAT:	ION 1	NO.		D	ATE		
ΡI	WO 2002	081448		A1	•	2002	1017	,	WO 2	 002-i	JP33!	· 55		20	00204	403
	W:	AE, AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO, CR,														
•		GM, HR,	HU,	ID,	IL,	IN,	.IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
		LS, LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	ΝZ,	OM,	PH,
		PL, PT,	RO,	RU,	ŞD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
		UA, UG,	US,	UZ,	VN,	ΥU,	ZA,	ZM,	ZW							
	RW:	GH, GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,
		CY, DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
		BF, BJ,	•	•			•			•	•	•		•	•	
	CA 2442	904		A1		2002	1017	(CA 2	002-2	24429	904		20	00204	403
	AU 2002	246336		A1		2002	1021	7	AU 2	002-2	2463	36		20	00204	403
	EP 1375482			A1		2004	0102]	EP 2	002-	71444	14		20	00204	403
	R:	AT, BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
	,	IE, SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
	BR 2002008678			A		2004	0330	1	BR 2	002-8	3678			20	00204	403
	NZ 528517			Α		2004	0827	1	NZ 2	002-	5285	L7		20	00204	403

	HU 200400384	A2	20040928	HU 2004-384	20020403
	CN 1610666	Α	20050427	CN 2002-811105	20020403
	RU 2256652	C1 .	20050720	RU 2003-129502	20020403
	JP 2002363159	Α	20021218	JP 2002-102486	20020404
	IN 2003KN01213	Α	20050930	IN 2003-KN1213	20030922
	ZA 2003007646	Α	20040713	ZA 2003-7646	20030930
	NO 2003004439	Α	20031202	NO 2003-4439	20031003
	MX 2003PA09097	Α	20040212	MX 2003-PA9097	20031003
	US 2004147555	A1	20040729	US 2003-679215	20031003
	US 7030138	B2	20060418		
PRAI	JP 2001-107615	Α	20010405		
	WO 2002-JP3355	W	20020403		
os	MARPAT 137:310926				
GT					

The title compds. I [R1 represents a hydrogen atom, a halogen atom, an alkyl group or a hydroxyl group, R2 represents a hydrogen atom or a halogen atom, R3 represents a hydrogen atom, an alkyl group optionally substituted, an aralkyl group, an alkylcarbonyl group optionally substituted, or the like, R4 and R5 each represent a hydrogen atom, a halogen atom, an alkyl or carbamoyl group optionally substituted, or the like, R6 represents a hetero-ring or the like, R7 and R8 each represent a hydrogen atom, an alkyl group, or the like, and n represents 0,1 or 2] are prepared I are useful in the therapy or prevention of blood coagulation diseases.

Compds. of this invention in vitro showed IC50 values of 5.8 nM to 15 nM against factor Xa. Formulations are given.

470475-87-7P, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-(1-IT benzylpiperidin-4-yloxy)-3-chlorophenyl]sulfamoylacetic acid ethyl ester dihydrochloride 470475-88-8P, N-[3-(3-Amidinophenyl)-2-(E)propenyl]-N-[4-(1-benzylpiperidin-4-yloxy)-3-chlorophenyl]sulfamoylacetic acid dihydrochloride 470475-89-9P, N-[3-(3-Amidinophenyl)-2-(E)propenyl] -N-[3-chloro-4-(1-phenethylpiperidin-4yloxy)phenyl]sulfamoylacetic acid ethyl ester dihydrochloride 470475-90-2P, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(1-phenethylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid dihydrochloride 470476-29-0P, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-(1iminophenylmethylpiperidin-4-yloxy)-3-chlorophenyl]sulfamoylacetic acid ethyl ester dihydrochloride 470476-30-3P, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-[1-iminophenylmethylpiperidin-4-yloxy]-3chlorophenyl]sulfamoylacetic acid dihydrochloride RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzamidine derivs. as inhibitors of activated blood coagulation factor ${\tt X}$)

RN 470475-87-7 CAPLUS

CN

Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME) Double bond geometry as shown.

●2 HCl

RN 470475-88-8 CAPLUS

CN Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

●2 HCl

RN 470475-89-9 CAPLUS

CN Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(2-phenylethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN

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470475-90-2 CAPLUS Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-CN [[1-(2-phenylethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

●2 HCl

RN 470476-29-0 CAPLUS

CN Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(iminophenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

●2 HCl

470476-30-3 CAPLUS RN

Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-CN[[1-(iminophenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

●2 HCl

IT 470477-10-2P, N-[4-(1-Benzylpiperidin-4-yloxy)-3chlorophenyl]sulfamoylacetic acid ethyl ester 470477-11-3P, N-[4-(1-Benzylpiperidin-4-yloxy)-3-chlorophenyl]-N-[3-(3-cyanophenyl)-2-(E)-propenyl]sulfamoylacetic acid ethyl ester 470477-14-6P, N-[3-Chloro-4-(1-phenethylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid ethyl ester 470477-15-7P, N-{3-Chloro-4-(1-phenethylpiperidin-4yloxy)phenyl]-N-[3-(3-cyanophenyl)-2-(E)-propenyl]sulfamoylacetic acid ethyl ester RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of benzamidine derivs. as inhibitors of activated blood coagulation factor X) RN 470477-10-2 CAPLUS CNAcetic acid, [[[3-chloro-4-[[1-(phenylmethyl)-4piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 470477-14-6 CAPLUS
CN Acetic acid, [[[3-chloro-4-[[1-(2-phenylethyl)-4-

piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 470477-15-7 CAPLUS

CN Acetic acid, [[[3-chloro-4-[[1-(2-phenylethyl)-4-piperidinyl]oxy]phenyl]['(2E)-3-(3-cyanophenyl)-2-propenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2001:886068 CAPLUS

DN 136:20021

TI Piperidine derivatives useful in the modulation of CCR3 activity

IN Sanganee, Hitesh; Springthorpe, Brian

PA Astrazeneca AB, Swed.

SO PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.				KIND DATE			APPLICATION NO.						DATE			
PΙ	WO 200	NO 2001092227				20011206 T		WO 2001-SE1298					20010530				
	W:	AE, AG	, AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
		CO, CI	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM, H	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KΡ,	KR,	ΚZ,	LC,	LK,	LR,	
		LS, L	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	
		RO, RU	, SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	
		UZ, VI	ı, yu,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM			
	RW	GH, GN	i, KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZW,	AT,	BE,	CH,	CY,	
		DE, DI	, ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
		BJ, CI	', CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG	•		
	EP 1289956			A1 20030312			EP 2001-937121					20010530					
	R:	AT, B	;, CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE, S	, LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR							
	JP 2003535079			T	T 20031125			JP 2002-500842						20010530			
	US 2003166652			A1	A1 20030904			US 2002-296034					20021120				

OS MARPAT 136:20021

GT

$$C1$$
 O
 $N(CH_2)_3NHSO_2$
 S
 N
 I

AB Piperidines such as I were prepared for modulation of CCR3 activity (no data). Thus, I was prepared starting from 4-(3,4-dichlorophenoxy)piperidine and tert-Bu (3-bromopropyl)carbamate.

RN 377741-09-8 CAPLUS

CN Piperidine, 4-(3,4-difluorophenoxy)-1-[(2S)-1-oxo-3-phenyl-2-[[[5-(2-pyridinyl)-2-thienyl]sulfonyl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 377741-10-1 CAPLUS

CN 2-Thiophenesulfonamide, N-[(1S)-1-[[4-(3,4-difluorophenoxy)-1-piperidinyl]methyl]-2-phenylethyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 1999:549271 CAPLUS
- DN 131:184875
- TI Preparation of benzenesulfonylaminoisoquinolinecarboxamidines and related compounds as cardiovascular agents.
- IN Grams, Frank; Kucznierz, Ralf; Leinert, Herbert; Stegmeier, Karlheinz; Von Der Saal, Wolfgang
- PA Roche Diagnostics G.m.b.H., Germany
- SO PCT Int. Appl., 63 pp. CODEN: PIXXD2

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DT Patent
LA English
FAN.CNT 1
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								APPLICATION NO.										
PI	WO 9942462			A1 19990826			WO 1999-EP914						19990212					
		W:	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
			DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,
								LC,										
			MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,
			TR,	TT,	UA,	UG,	US,	UZ,	VN,	YU,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,
			ТJ,	TM														
		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SZ,	ŪĠ,	ZW,	ΑT,	ΒE,	CH,	CY,	DE,	DK,	ES,
			FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,
			CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG						
				A1 19990825			0825	EP 1998-102750					19980218					
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO										
	AU 9931407			A 19990906				AU 1999-31407										
	za	9901	268			A		1999	0818		ZA 1	999-	1268	•		1	9990:	217
PRAI	ΕP	1998	-102	750		Α		1998	0218									
	WO	1999	-EP9	14		W		1999	0212									
os	CASREACT 131:184875						RPAT	131	:184	875								
GI																		

Title compds. [I; R1, R2 = H, halo, OH, alkyl, cycloalkyl, alkenyl AB ,alkynyl, aryl, heteroaryl, etc.; R3 = H, alkyl, cycloalkyl, alkenyl, alkynyl, aralkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, arylcarbonyl, etc.; R4 = alkyl, cycloalkyl, (substituted) amino, aryl, heteroaryl; X = bond, CO, alkylene, alkyleneoxy; n = 1, 2; m = 1-4], were prepared Thus, 7-[4-(1-carbamimidoylpiperidin-4-yloxy)benzenesulfonylamino]-3,4-dihydro-1H-isoquinoline-2-carboxamidine dihydrochloride (multistep preparation from 7-nitro-1,2,3,4-tetrahydroisoquinoline hydrochloride given) at 500 μM showed a thrombin time of 40 s. 239451-96-8P 239452-08-5P 239452-20-1P IT 239452-31-4P 239452-43-8P 239452-55-2P 239452-67-6P 239452-79-0P 239452-91-6P 239453-03-3P 239453-15-7P 239453-27-1P 239453-40-8P 239453-49-7P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of benzenesulfonylaminoisoquinolinecarboxamidines and related compds. as cardiovascular agents)

RN 239451-96-8 CAPLUS

CN

2(1H)-Isoquinolinecarboximidamide, 3,4-dihydro-7-[[[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]amino]- (9CI) (CFINDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ H_2N-C & & & \\ & & & \\ NH & & & \\ \end{array}$$

RN 239452-08-5 CAPLUS

CN 2(1H)-Isoquinolinecarboximidamide, 3,4-dihydro-7-[[[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]methylamino]-(9CI) (CA INDEX NAME)

RN 239452-20-1 · CAPLUS

CN 2(1H)-Isoquinolinecarboximidamide, 7-[ethyl[[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]amino]-3,4-dihydro-(9CI) (CA INDEX NAME)

RN 239452-31-4 CAPLUS

CN 2(1H)-Isoquinolinecarboximidamide, 7-[cyclopropyl[[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]amino]-3,4-dihydro-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ H_2N-C & & & \\ & & & \\ NH & & & \\ \end{array}$$

RN 239452-43-8 CAPLUS

CN 2(1H)-Isoquinolinecarboximidamide, 3,4-dihydro-7-[[[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]-2-propenylamino]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CH_2-CH=CH_2\\ \hline 0\\ NH \end{array}$$

RN 239452-55-2 CAPLUS

CN 2(1H)-Isoquinolinecarboximidamide, 3,4-dihydro-7-[[[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl](phenylmethyl)amin o]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CH_2-Ph & NH \\ \hline \\ H_2N-C & \\ \hline \\ NH & O \end{array}$$

RN 239452-67-6 CAPLUS

CN 2(1H)-Isoquinolinecarboximidamide, 3,4-dihydro-7-[(2-hydroxyethyl)][[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]amino]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{CH}_2\text{-}\text{CH}_2\text{-}\text{OH} \\ & \text{O} \\ & \text{N} \\ & \text{N} \\ & \text{NH} \end{array}$$

RN 239452-79-0 CAPLUS

CN Glycine, N-[2-(aminoiminomethyl)-1,2,3,4-tetrahydro-7-isoquinolinyl]-N-[[4-[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CH_2-CO_2H & NH \\ \hline \\ NH & O \\ \hline \\ NH & O \\ \end{array}$$

RN 239452-91-6 CAPLUS

CN Butanoic acid, 4-[[2-(aminoiminomethyl)-1,2,3,4-tetrahydro-7-isoquinolinyl][[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)

RN 239453-03-3 CAPLUS

CN Glycine, N-[2-(aminoiminomethyl)-1,2,3,4-tetrahydro-7-isoquinolinyl]-N-[[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{CH}_2-\text{C}-\text{OEt} & & & \\ & & & \\ \text{N} & & & \\ & & & \\ \text{NH} & & & \\ \end{array}$$

RN 239453-15-7 CAPLUS

CN Acetamide, N-[2-(aminoiminomethyl)-1,2,3,4-tetrahydro-7-isoquinolinyl]-N[[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl](9CI) (CA INDEX NAME)

RN 239453-27-1 CAPLUS

CN Benzamide, N-[2-(aminoiminomethyl)-1,2,3,4-tetrahydro-7-isoquinolinyl]-N[[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]4-methoxy- (9CI) (CA INDEX NAME)

RN 239453-40-8 CAPLUS

CN Acetic acid, [[[2-(aminoiminomethyl)-1,2,3,4-tetrahydro-7-isoquinolinyl][[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]amino]sulfonyl]- (9CI) (CA INDEX NAME)

$$O = S - CH_2 - CO_2H$$

$$O = N - S$$

$$NH$$

$$NH$$

$$O = N$$

$$N - S$$

$$NH$$

$$O = N$$

RN 239453-49-7 CAPLUS

CN Boronic acid, [[[2-(aminoiminomethyl)-1,2,3,4-tetrahydro-7-isoquinolinyl][[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]amino]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OH} & \text{NH} & \text{OMe} \\ \hline \\ \text{CH}_2 - \text{B} - \text{OH} & \text{O} \\ \hline \\ \text{N} + \text{C} & \text{O} \\ \hline \\ \text{NH} & \text{O} \end{array}$$

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1995:792624 CAPLUS

DN 123:198635

Preparation of N-phenylalkyl/phenoxyalkyl-azetidine/pyrrozidinyl/piperidin TI e/ and hexahydroazepine derivatives as antiarrhythmic agents

Baumgarth, Manfred; Lues, Inge; Minck, Klaus-Otto IN

PA Merck Patent G.m.b.H., Germany

Eur. Pat. Appl., 18 pp. SO

CODEN: EPXXDW

DT Patent German LA

FAN.CNT 1

THE COLL T											
	PATENT NO.	I	KIND	DATE	APPLICATION NO.	DATE					
						-					
PΙ	EP 649838		A1	19950426	EP 1994-115921	19941010					
	R: AT, 1	BE, CH, I	DE, DK,	ES, FR,	GB, GR, IE, IT, LI, LU	, NL, PT, SE					
	DE 4335718		A1	19950427	DE 1993-4335718	19931020					
	AU 9475883		A	19950511	AU 1994-75883	19941017					
	CA 2118375		A1	19950421	CA 1994-2118375	19941018					
	JP 07188162		A	19950725	JP 1994-252333	19941018					
	CN 1107469		A	19950830	CN 1994-117324	19941018					
	NO 9403961		Α	19950421	NO 1994-3961	19941019					
	ZA 9408211		A	19950612	ZA 1994-8211	19941019					
	HU 72294		A2	19960429	HU 1994-3010	19941019					
PRAI	DE 1993-4335	718	Α	19931020							
os	MARPAT 123:1:	98635			•						
GI											

$$(CH_2)_p$$
 $(CH_2)_mYA^2$
 $(CH_2)_n$
 $(CH_2)_qXA^1$

AB The title compds. [I; A1, A2 = (un) substituted Ph; X, Y = direct bond, O; m = 0, 1; n = 0-2; p = 0-3; Q = 2, 3], useful as antiarrhythmic agents (no data), are prepd and I-containing formulations presented. Thus, 1-(2-p-nitrophenylethyl)-3-piperidinol was reacted with 4-O2NC6H4OH in the presence of Ph3P and EtO2CN:NCO2H, producing 1-(2-p-nitrophenylethyl)-2-(pnitrophenoxymethyl)pyrrolidine and 1-(2-p-nitrophenylethyl)-3-(pnitrophenoxy) piperidine.

IT 167858-98-2P 167859-00-9P 167859-05-4P

Ι

167859-10-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-phenylalkyl/phenoxyalkyl-azetidine/pyrrozidinyl/piperidine / and hexahydroazepine derivs. as antiarrhythmic agents)

RN167858-98-2 CAPLUS

CN Methanesulfonamide, N-[4-[2-[3-[4-[(methylsulfonyl)amino]phenoxy]-1piperidinyl]ethyl]phenyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 167859-00-9 CAPLUS

CN Methanesulfonamide, N-[4-[2-[3-[4-[(methylsulfonyl)amino]phenoxy]-1-piperidinyl]ethyl]phenyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 167859-05-4 CAPLUS

CN Methanesulfonamide, N-[4-[2-[3-[4-[(methylsulfonyl)amino]phenoxy]-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ \parallel & \parallel & \parallel \\ O & 0 & N - CH_2 - CH_2 \end{array}$$

$$\begin{array}{c|c} O & O & N - CH_2 - CH_2 \\ \hline O & O & O \end{array}$$

RN 167859-10-1 CAPLUS

CN Methanesulfonamide, N-[4-[2-[4-[4-[(methylsulfonyl)amino]phenoxy]-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)